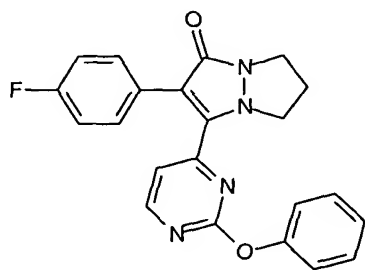
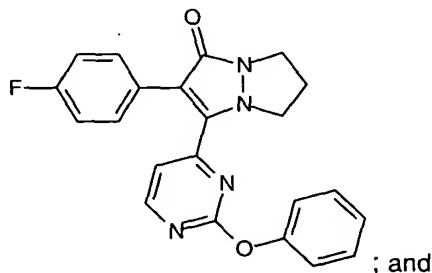


## WHAT IS CLAIMED IS:

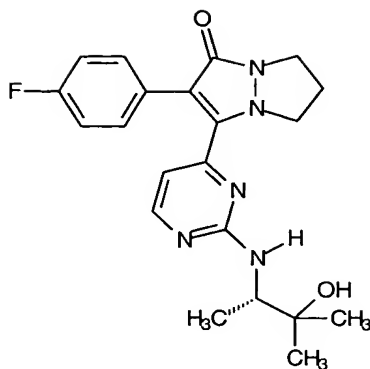
1. The compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



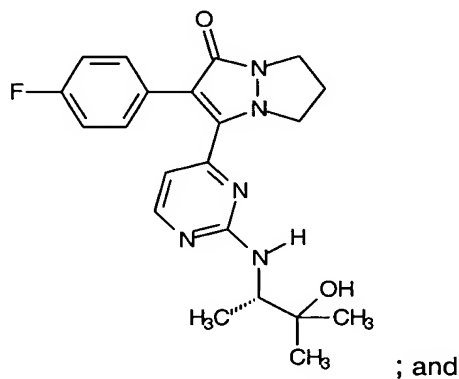
2. A pharmaceutical composition comprising:
  - a) an effective amount of the compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



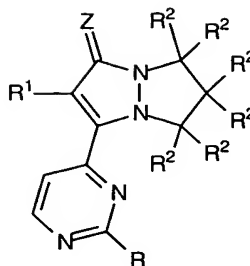
- b) one or more pharmaceutically acceptable excipients.
3. The compound 2-(4-fluorophenyl)-3-[2-(2-hydroxy-1,2-dimethylpropylamino)pyrimidin-4-yl]-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



4. A pharmaceutical composition comprising:
- an effective amount of the compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



- one or more pharmaceutically acceptable excipients.
5. A method for controlling osteoarthritis, rheumatoid arthritis and diabetes in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:
- an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

- a)  $-\text{O}[\text{CH}_2]_k\text{R}^3$ ; or
- b)  $-\text{NR}^{4a}\text{R}^{4b}$ ;

$\text{R}^3$  is substituted or unsubstituted  $\text{C}_1$ - $\text{C}_4$  alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5;  $\text{R}^{4a}$  and  $\text{R}^{4b}$  are each independently:

- a) hydrogen; or
- b)  $-\text{C}(\text{R}^{5a}\text{R}^{5b})_m\text{R}^6$ ;

each  $\text{R}^{5a}$  and  $\text{R}^{5b}$  are independently hydrogen, or  $\text{C}_1$ - $\text{C}_4$  linear, branched, or cyclic alkyl, and mixtures thereof;  $\text{R}^6$  is hydrogen,  $-\text{OR}^7$ ,  $-\text{N}(\text{R}^7)_2$ ,  $-\text{CO}_2\text{R}^7$ ,  $-\text{CON}(\text{R}^7)_2$ ; substituted or unsubstituted  $\text{C}_1$ - $\text{C}_4$  alkyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;  $\text{R}^7$  is hydrogen, a water-soluble cation,  $\text{C}_1$ - $\text{C}_4$  alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

$\text{R}^1$  is:

- a) substituted or unsubstituted aryl; or
- b) substituted or unsubstituted heteroaryl;

each  $\text{R}^2$  unit is independently selected from the group consisting of:

- a) hydrogen;
- b)  $-(\text{CH}_2)_i\text{O}(\text{CH}_2)_n\text{R}^8$ ;
- c)  $-(\text{CH}_2)_i\text{NR}^{9a}\text{R}^{9b}$ ;
- d)  $-(\text{CH}_2)_i\text{CO}_2\text{R}^{10}$ ;
- e)  $-(\text{CH}_2)_i\text{OCO}_2\text{R}^{10}$ ;
- f)  $-(\text{CH}_2)_i\text{CON}(\text{R}^{10})_2$ ;
- g)  $-(\text{CH}_2)_i\text{OCON}(\text{R}^{10})_2$ ;
- h) two  $\text{R}^2$  units can be taken together to form a carbonyl unit;
- i) and mixtures thereof;

$\text{R}^8$ ,  $\text{R}^{9a}$ ,  $\text{R}^{9b}$ , and  $\text{R}^{10}$  are each independently hydrogen,  $\text{C}_1$ - $\text{C}_4$  alkyl, and mixtures thereof;  $\text{R}^{9a}$  and  $\text{R}^{9b}$  can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two  $\text{R}^{10}$  units can be taken together to form a

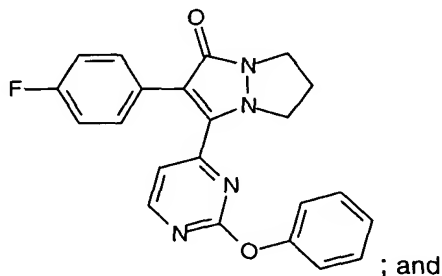
carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5;

Z is O, S, NR<sup>11</sup>, or NOR<sup>11</sup>; R<sup>11</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl; and

- b) one or more pharmaceutically acceptable excipients.

6. A method for controlling the osteoarthritis, rheumatoid arthritis and diabetes in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:

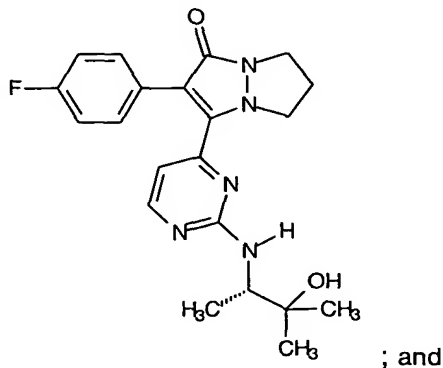
- a) an effective amount of the compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



- b) one or more pharmaceutically acceptable excipients.

7. A method for controlling the osteoarthritis, rheumatoid arthritis and diabetes in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:

- a) an effective amount of the compound 2-(4-fluorophenyl)-3-[2-(2-hydroxy-1,2-dimethylpropylamino)pyrimidin-4-yl]-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:

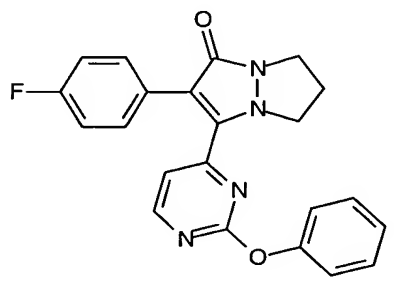


b) one or more pharmaceutically acceptable excipients.

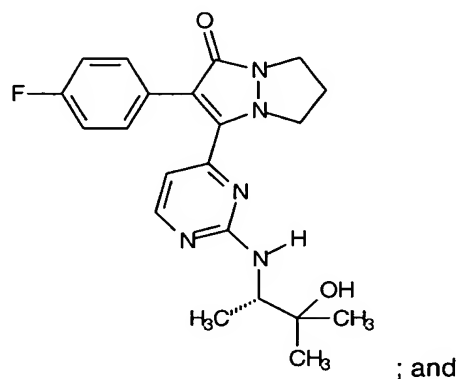
8. A method for controlling the level of one or more inflammation inducing cytokines selected from the group consisting of, interleukin-1 (IL-1), Tumor Necrosis Factor- $\alpha$  (TNF- $\alpha$ ), interleukin-6 (IL-6), and interleukin-8 (IL-8), thereby controlling, mediating, or abating disease states affected by the level of extracellular inflammatory cytokines in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:

a) an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound selected from bicyclic pyrazolones having the formula:

i)



ii)



iii) mixtures thereof; and

b) one or more pharmaceutically acceptable excipients.